

FORM PTO-1390
(REV. 12-2001)

U.S. DEPARTMENT OF COMMERCE PATENT AND TRADEMARK OFFICE

ATTORNEY'S DOCKET NUMBER

**TRANSMITTAL LETTER TO THE UNITED STATES
DESIGNATED/ELECTED OFFICE (DO/EO/US)
CONCERNING A FILING UNDER 35 U.S.C. 371**

Mo6920/LeA 33,917

U.S. APPLICATION NO. (If known, see 37 CFR 1.5

To be Assigned **10/049747**

INTERNATIONAL APPLICATION NO.
PCT/EP00/07096

INTERNATIONAL FILING DATE
25 July 2000 (25.07.00)

PRIORITY DATE CLAIMED
06 August 1999 (6.08.99)

TITLE OF INVENTION

Substituted Thienyl(amino)sulfonylureas

APPLICANT(S) FOR DO/EO/US GESING, Ernst Rudolf E; KLUTH, Joachim; MUELLER, Klaus-Helmut;
DREWES, Mark Wilhelm; DHAMEN, Peter; FEUCHT, Dieter and PONTZEN, Rolf

Applicant herewith submits to the United States Designated/Elected Office (DO/EO/US) the following items and other information:

1. ☒ This is a **FIRST** submission of items concerning a filing under 35 U.S.C. 371.
2. ☐ This is a **SECOND** or **SUBSEQUENT** submission of items concerning a filing under 35 U.S.C. 371.
3. ☒ This is an express request to begin national examination procedures (35 U.S.C. 371(f)). The submission must include items (5), (6), (9) and (21) indicated below.
4. ☒ The US has been elected by the expiration of 19 months from the priority date (Article 31).
5. ☒ A copy of the International Application as filed (35 U.S.C. 371(c)(2))
 - a. ☒ is attached hereto (required only if not communicated by the International Bureau).
 - b. ☐ has been communicated by the International Bureau.
 - c. ☐ is not required, as the application was filed in the United States Receiving Office (RO/US).
6. ☒ An English language translation of the International Application as filed (35 U.S.C. 371(c)(2)).
 - a. ☒ is attached hereto.
 - b. ☐ has been previously submitted under 35 U.S.C. 154(d)(4).
7. ☐ Amendments to the claims of the International Application under PCT Article 19 (35 U.S.C. 371(c)(3))
 - a. ☐ are attached hereto (required only if not communicated by the International Bureau).
 - b. ☐ have been communicated by the International Bureau.
 - c. ☐ have not been made; however, the time limit for making such amendments has NOT expired.
 - d. ☐ have not been made and will not be made.
8. ☐ An English language translation of the amendments to the claims under PCT Article 19 (35 U.S.C. 371 (c)(3)).
9. ☒ An oath or declaration of the inventor(s) (35 U.S.C. 371(c)(4)).
10. ☐ An English language translation of the annexes of the International Preliminary Examination Report under PCT Article 36 (35 U.S.C. 371(c)(5)).

Items 11 to 20 below concern document(s) or information included:

11. ☐ An Information Disclosure Statement under 37 CFR 1.97 and 1.98.
12. ☒ An assignment document for recording. A separate cover sheet in compliance with 37 CFR 3.28 and 3.31 is included.
13. ☒ A FIRST preliminary amendment.
14. ☐ A SECOND or SUBSEQUENT preliminary amendment.
15. ☐ A substitute specification.
16. ☐ A change of power of attorney and/or address letter.
17. ☐ A computer-readable form of the sequence listing in accordance with PCT Rule 13ter.2 and 35 U.S.C. 1.821 - 1.825.
18. ☐ A second copy of the published international application under 35 U.S.C. 154(d)(4).
19. ☐ A second copy of the English language translation of the international application under 35 U.S.C. 154(d)(4).
20. ☒ Other items or information:

PTO Form 1449 with listed references

U.S. APPLICATION NO. of 104-049747
To be assigned to

INTERNATIONAL APPLICATION NO.
PCT/EP00/07096

ATTORNEY'S DOCKET NUMBER
Mo6920/LeA 33,917

21. ☒ The following fees are submitted:

BASIC NATIONAL FEE (37 CFR 1.492(a)(1)-(5)):

Neither international preliminary examination fee (37 CFR 1.482)
nor international search fee (37 CFR 1.445(a)(2)) paid to USPTO
and International Search Report not prepared by the EPO or JPO **\$1040.00**

International preliminary examination fee (37 CFR 1.482) not paid to
USPTO but International Search Report prepared by the EPO or JPO **\$890.00**

International preliminary examination fee (37 CFR 1.482) not paid to USPTO
but international search fee (37 CFR 1.445(a)(2)) paid to USPTO **\$740.00**

International preliminary examination fee (37 CFR 1.482) paid to USPTO
but all claims did not satisfy provisions of PCT Article 33(1)-(4) **\$710.00**

International preliminary examination fee (37 CFR 1.482) paid to USPTO
and all claims satisfied provisions of PCT Article 33(1)-(4) **\$100.00**

ENTER APPROPRIATE BASIC FEE AMOUNT =

CALCULATIONS PTO USE ONLY

\$ 890.00

\$

Surcharge of **\$130.00** for furnishing the oath or declaration later than
months from the earliest claimed priority date (37 CFR 1.492(e)). ☐ 20 ☐ 30

\$

CLAIMS	NUMBER FILED	NUMBER EXTRA	RATE	\$
Total claims	8 - 20 =	0	x \$18.00	\$
Independent claims	1 - 3 =	0	x \$84.00	\$
MULTIPLE DEPENDENT CLAIM(S) (if applicable)				+ \$280.00
TOTAL OF ABOVE CALCULATIONS =				\$ 890.00
<input type="checkbox"/> Applicant claims small entity status. See 37 CFR 1.27. The fees indicated above are reduced by 1/2.				+
SUBTOTAL =				\$
Processing fee of \$130.00 for furnishing the English translation later than <input type="checkbox"/> 20 <input type="checkbox"/> 30 months from the earliest claimed priority date (37 CFR 1.492(f)).				\$
TOTAL NATIONAL FEE =				\$ 890.00
Fee for recording the enclosed assignment (37 CFR 1.21(h)). The assignment must be accompanied by an appropriate cover sheet (37 CFR 3.28, 3.31). \$40.00 per property				+ \$ 40.00
TOTAL FEES ENCLOSED =				\$ 930.00
Amount to be refunded:				\$
charged:				\$

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- a. ☐ A check in the amount of \$ _____ to cover the above fees is enclosed.
- b. ☒ Please charge my Deposit Account No. 13-3848 in the amount of \$ 930.00 to cover the above fees.
A duplicate copy of this sheet is enclosed.
- c. ☒ The Commissioner is hereby authorized to charge any additional fees which may be required, or credit any overpayment to Deposit Account No. 13-3848. A duplicate copy of this sheet is enclosed.
- d. ☐ Fees are to be charged to a credit card. **WARNING:** Information on this form may become public. **Credit card information should not be included on this form.** Provide credit card information and authorization on PTO-2038.

NOTE: Where an appropriate time limit under 37 CFR 1.494 or 1.495 has not been met, a petition to revive (37 CFR 1.137 (a) or (b)) must be filed and granted to restore the application to pending status.

SEND ALL CORRESPONDENCE TO:



00157

PATENT TRADEMARK OFFICE

SIGNATURE

Raymond H. Harmuth

NAME

33,896

REGISTRATION NUMBER

**TRANSMITTAL LETTER TO THE
UNITED STATES RECEIVING OFFICE**

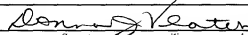
Date	31 January 2002
International Application No.	PCT/EP00/07096
Attorney Docket No.	Mo6920/LeA 33,917

I. Certification under 37 CFR 1.10 (if applicable)

ET671450199US
Express Mail mailing number

31 JAN 2002 31 January 2002 Date of Deposit
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I hereby certify that the application/correspondence attached hereto is being deposited with the United States Postal Service "Express Mail Post Office to Addressee" service under 37 CFR 1.10 on the date indicated above and is addressed to Assistant Commissioner for Patents, Washington, D.C. 20231.


Signature of person mailing correspondence

Donna J. Veatch
Typed or printed name of person mailing correspondence

II. ☒ New International Application

TITLE Substituted Thienyl(amino)sulphonylureas

Earliest priority date
(Day/Month/Year)

06 Aug 1999 (6.08.99)

SCREENING DISCLOSURE, INFORMATION: In order to assist in screening the accompanying international application for purposes of determining whether a license for foreign transmittal should and could be granted and for other purposes, the following information is supplied. (Note: check as many boxes as apply):

- A. ☒ The invention disclosed was **not** made in the United States.
 B. ☒ There is no prior U.S. application relating to this invention.
 C. ☐ The following prior U.S. application(s) contain subject matter which is related to the invention disclosed in the attached international application. (NOTE: priority to these applications may or may not be claimed on form PCT/RO/101 (Request) and this listing does not constitute a claim for priority.)

application no.		filed on	
application no.		filed on	

- D. ☐ The present international application contains additional subject matter not found in the prior U.S. application(s) identified in paragraph C. above. The additional subject matter is found on pages _____ and ☐ DOES NOT ALTER ☐ MIGHT BE CONSIDERED TO ALTER the general nature of the invention in a manner which would require the U.S. application to have been made available for inspection by the appropriate defense agencies under 35 U.S.C. 181 and 37 CFR 5.1. See 37 CFR 5.15

III. ☐ A Response to an Invitation from the RO/US. The following document(s) is(are) enclosed:

- A. ☐ A Request for An Extension of Time to File a Response
 B. ☐ A Power of Attorney (General or Regular)
 C. ☐ Replacement pages:

pages	of the request (PCT/RO/101)	pages	of the figures
pages	of the description	pages	of the abstract
pages	of the claims		

- D. ☐ Submission of Priority Documents

Priority document		Priority document	
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- E. ☐ Fees as specified on attached Fee Calculation sheet form PCT/RO/101 annex

IV. ☐ A Request for Rectification under PCT 91 ☐ A Petition ☐ A Sequence Listing Diskette

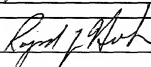
- V. ☒ Other (please specify): Preliminary Amendment
PTO Form 1449 with listed references

The person signing this form is the:

<input type="checkbox"/> Applicant
<input checked="" type="checkbox"/> Attorney/Agent (Reg. No.) 33,896
<input type="checkbox"/> Common Representative

Raymond H. Harmuth

Typed name of signer



Signature

PATENT APPLICATION
Mo-6920
LeA 33,917

IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

APPLICATION OF)
ERNST RUDOLF F. GESING ET AL) PCT/EP00/07096
SERIAL NUMBER: TO BE ASSIGNED)
FILED: HERewith)
TITLE: SUBSTITUTED THIENYL(AMINO))
SULPHONYLUREAS)

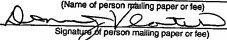
PRELIMINARY AMENDMENT

Assistant Commissioner for Patents
Washington, D.C. 20231

Upon the granting of a serial number and filing date and prior to the examination of the subject application, kindly amend the application as follows:

"Express Mail" mailing label number ET671450199US
Date of Deposit January 31, 2002

I hereby certify that this paper or fee is being deposited with the United States Postal Service "Express Mail Post Office to Addressee" service under 37 CFR 1.10 on the date indicated above and is addressed to the Assistant Commissioner of Patents and Trademarks, Washington, D.C. 20231
Donna J. Veatch

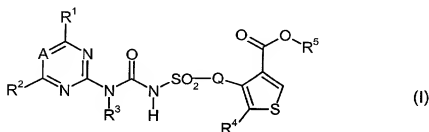
(Name of person mailing paper or fee)


(Signature of person mailing paper or fee)

IN THE CLAIMS:

Please amend the claims as follows. Please cancel Claim 8 and amend Claims 1-7 and 9 as indicated below. A marked up copy of the claims to show changes is attached to this Preliminary Amendment.

1. (Once Amended) A compound selected from the group consisting of
a compound of the Formula (I)



wherein

- A represents nitrogen or a CH grouping,
- Q represents a single bond or represents NH,
- R¹ represents hydrogen, halogen or in each case optionally substituted alkyl, alkoxy, alkylthio, alkylamino, dialkylamino, aryloxy or heterocyclyloxy,
- R² represents hydrogen, halogen or in each case optionally substituted alkyl, alkoxy, alkylthio, alkylamino, dialkylamino, aryloxy or heterocyclyloxy,
- R³ represents hydrogen or optionally substituted alkyl,

R⁴ represents halogen or optionally substituted alkyl and – if Q represents NH - also represents hydrogen, and

R⁵ represents hydrogen or in each case optionally substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl or heterocyclyl,

and a salt of the compound of the Formula (I).

2. (Once Amended) The compound according to Claim 1, wherein

R¹ represents hydrogen, represents halogen, represents in each case optionally cyano-, halogen- or C₁-C₄-alkoxy-substituted alkyl, alkoxy, alkylthio, alkylamino or dialkylamino having in each case 1 to 4 carbon atoms in the alkyl groups, or represents in each case optionally cyano-, halogen-, C₁-C₄-alkyl- or C₁-C₄-alkoxy-substituted phenoxy, oxetanyloxy, furyloxy or tetrahydrofuryloxy,

R² represents hydrogen, represents halogen, represents in each case optionally cyano-, halogen- or C₁-C₄-alkoxy-substituted alkyl, alkoxy, alkylthio, alkylamino or dialkylamino having in each case 1 to 4 carbon atoms in the alkyl groups, or represents in each case optionally cyano-, halogen-, C₁-C₄-alkyl- or C₁-C₄-alkoxy-substituted phenoxy, oxetanyloxy, furyloxy or tetrahydrofuryloxy,

R³ represents hydrogen or represents optionally C₁-C₄-alkoxy-, C₁-C₄-alkyl-carbonyl- or C₁-C₄-alkoxy-carbonyl-substituted alkyl having 1 to 4 carbon atoms,

R⁴ represents optionally cyano-, halogen- or C₁-C₄-alkoxy-substituted alkyl having 1 to 6 carbon atoms and – if Q represents NH – also represents hydrogen, and

R⁵ represents hydrogen, represents optionally cyano-, halogen- or C₁-C₄-alkoxy-substituted alkyl having 1 to 6 carbon atoms, represents in each case optionally halogen-substituted alkenyl or alkynyl having in each case 2 to 6 carbon atoms, represents in each case optionally cyano-, halogen- or C₁-C₄-alkyl-substituted cycloalkyl or cycloalkylalkyl having in each case 3 to 6 carbon atoms in the cycloalkyl groups and optionally 1 to 4 carbon atoms in the alkyl moiety, or represents in each case optionally cyano-, halogen-, C₁-C₄-alkyl- or C₁-C₄-alkoxy-substituted oxetanyl, furyl or tetrahydrofuryl.

3. (Once Amended) The compound according to Claim 1, wherein

R¹ represents hydrogen, fluorine, chlorine, bromine, iodine, or represents in each case optionally cyano-, fluorine-, chlorine-, methoxy- or ethoxy-substituted methyl, ethyl, n- or i-propyl, methoxy, ethoxy, n- or i-propoxy, methylthio, ethylthio, n- or i-propylthio, methylamino, ethylamino, n- or i-propylamino, dimethylamino or diethylamino,

R² represents fluorine, chlorine, bromine, or represents in each case optionally cyano-, fluorine-, chlorine-, methoxy- or ethoxy-substituted methyl, ethyl, n- or i-propyl, methoxy, ethoxy, n- or i-propoxy, methylthio, ethylthio, n- or i-propylthio, methylamino, ethylamino, n- or i-propylamino, dimethylamino or diethylamino,

- R³ represents hydrogen or represents in each case optionally methoxy-, ethoxy-, n- or i-propoxy-, acetyl-, propionyl-, n- or i-butyryl-, methoxycarbonyl-, ethoxycarbonyl-, n- or i-propoxycarbonyl-substituted methyl or ethyl,
- R⁴ represents in each case optionally cyano-, fluorine-, chlorine-, methoxy- or ethoxy-substituted methyl, ethyl, n- or i-propyl, n-, i-, s- or t-butyl, and
- R⁵ represents hydrogen, represents in each case optionally cyano-, fluorine-, chlorine-, methoxy-, ethoxy-, n- or i-propoxy-substituted methyl, ethyl, n- or i-propyl, n-, i-, s- or t-butyl, represents in each case optionally fluorine-, chlorine- or bromine-substituted propenyl, butenyl, propinyl or butinyl, or represents in each case optionally cyano-, fluorine-, chlorine-, bromine-, methyl-, ethyl-, n- or i-propyl-substituted cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclopropylmethyl, cyclobutylmethyl, cyclopentylmethyl or cyclohexylmethyl.

4. (Once Amended) The compound according to Claim 1, wherein

- R¹ represents hydrogen, fluorine, chlorine, bromine, represents in each case optionally fluorine-, chlorine-, methoxy- or ethoxy-substituted methyl, ethyl, methoxy, ethoxy, methylthio, ethylthio, methylamino, ethylamino, or represents dimethylamino,
- R² represents fluorine, chlorine, bromine, represents in each case optionally fluorine-, chlorine-, methoxy- or ethoxy-substituted methyl, ethyl, methoxy, ethoxy, methylthio, ethylthio, methylamino or ethylamino, or represents dimethylamino,
- R³ represents hydrogen or methyl,

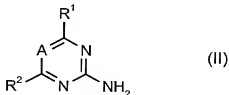
R⁴ represents in each case optionally fluorine- or chlorine-substituted methyl, ethyl, n- or i-propyl, and

R⁵ represents in each case optionally fluorine-, chlorine-, methoxy- or ethoxy-substituted methyl, ethyl, n- or i-propyl, or represents in each case optionally fluorine- or chlorine-substituted propenyl or propinyl.

5. (Once Amended) The compound of Claim 1, wherein said compound is a salt of said compound of the Formula I and said salt is selected from the group consisting of a sodium, potassium, magnesium, calcium, ammonium, C₁-C₄-alkyl-ammonium, di-(C₁-C₄-alkyl)-ammonium, tri-(C₁-C₄-alkyl)-ammonium, tetra-(C₁-C₄-alkyl)-ammonium, tri-(C₁-C₄-alkyl)-sulphonium, C₅- or C₆-cycloalkyl-ammonium and di-(C₁-C₂-alkyl)-benzyl-ammonium salt of said compound according to Claim 1. .

6. (Once Amended) A process for preparing a compound according to Claim 1, selected from the group consisting of processes (a), (b), (c) and (d), wherein

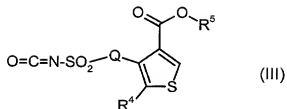
(a) said process (a) comprises the step of reacting an aminoazine of the Formula (II)



wherein

A, R¹ and R² are each as defined in Claim 1

with a thienyl(amino)sulphonyl isocyanate of the Formula (III)

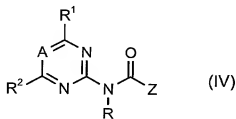


wherein

Q, R⁴ and R⁵ are each as defined in Claim 1 ,

optionally in the presence of a reaction auxiliary and optionally in the presence of a diluent,

(b) said process (b) comprises the step of reacting a substituted aminoazine of the Formula (IV)



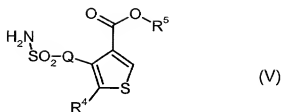
wherein

A, R¹ and R² are each as defined in Claim 1 ,

Z represents halogen, alkoxy or aryloxy and

R has the meaning given for R³ in Claim 1 or represents the grouping - C(O)-Z,

with a thiophene derivative of the Formula (V)

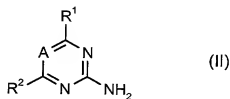


wherein

Q, R⁴ and R⁵ are each as defined in Claim 1 to 4,

optionally in the presence of a reaction auxiliary and optionally in the presence of a diluent,

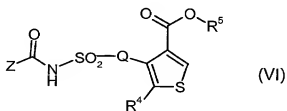
(c) said process (c) comprises the step of reacting an aminoazine of the Formula (II)



wherein

A, R¹ and R² are each as defined in Claim 1 ,

with a thiophene derivative of the Formula (VI)



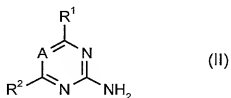
wherein

Q, R⁴ and R⁵ are each as defined in Claim 1 and

Z represents halogen, alkoxy or aryloxy,

optionally in the presence of a reaction auxiliary and optionally in the presence of a diluent,

(d) said process (d) comprises the steps of reacting an aminoazine of the Formula (II)

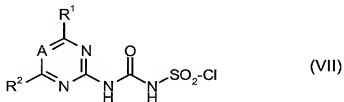


wherein

A, R¹ and R² are each as defined in Claim 1 ,

with a chlorosulphonyl isocyanate, optionally in the presence of a diluent, and

reacting a resulting chlorosulphonylaminocarbonylamino-azine of the Formula (VII)

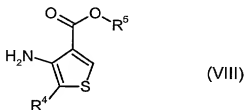


wherein

A, R¹ and R² are each as defined in Claim 1

wherein said chlorosulphonylaminocarbonylamino-azine is reacted either after intermediate isolation or "in situ"

with a substituted aminothiophene of the Formula (VIII)



wherein

R⁴ and R⁵ are each as defined in Claim 1 ,

optionally in the presence of a reaction auxiliary and optionally in the presence of a diluent,

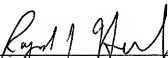
each of said processes (a), (b), (c) or (d) respectively, optionally further comprising the step of converting the compound obtained by each of said respective process into a salt.

7. (Once Amended) A method for controlling undesirable vegetation, comprising the step of allowing one or more compounds according to Claim 1 to act on a member selected from the group consisting of one or more undesirable plants, a habitat of said undesirable plants, and combinations thereof.
9. (Once Amended) An herbicidal composition, comprising a compound according to Claim 1 and a member selected from the group consisting of one or more extenders, one or more surfactants and combinations thereof.

REMARKS

This amendment is made to place the claims in conformance with U.S. patent practice. This amendment is not in derogation of any prior art, and Applicant respectfully asserts that it is entitled to the claims as amended and any equivalents thereof.

Respectfully submitted,

By 
Raymond J. Harmuth
Attorney for Applicants
Reg. No. 33,896

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Pittsburgh, Pennsylvania 15205-9741
(412) 777-8366
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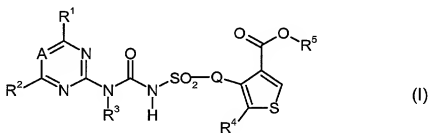
VERSION MARKED TO SHOW CHANGES

IN THE CLAIMS:

Please cancel Claim 8 and amend Claims 1-7 and 9 as indicated below.

1. (Once Amended) A ~~C~~compounds selected from the group consisting of

~~the general~~ a compound of the ~~Formula (I)~~



~~in which~~ wherein

A represents nitrogen or a CH grouping,

Q represents a single bond or represents NH,

R¹ represents hydrogen, halogen or in each case optionally substituted alkyl, alkoxy, alkylthio, alkylamino, dialkylamino, aryloxy or heterocycl-oxy,

R² represents hydrogen, halogen or in each case optionally substituted alkyl, alkoxy, alkylthio, alkylamino, dialkylamino, aryloxy or heterocycl-oxy,

R³ represents hydrogen or optionally substituted alkyl,

R⁴ represents halogen or optionally substituted alkyl and – if Q represents NH - also represents hydrogen, and

R⁵ represents hydrogen or in each case optionally substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl or heterocyclyl,

and a salts of the compounds of the ~~f~~Formula (I).

2. (Once Amended) The ~~C~~compounds according to Claim 1, ~~characterized in that wherein~~

R¹ represents hydrogen, represents halogen, represents in each case optionally cyano-, halogen- or C₁-C₄-alkoxy-substituted alkyl, alkoxy, alkylthio, alkylamino or dialkylamino having in each case 1 to 4 carbon atoms in the alkyl groups, or represents in each case optionally cyano-, halogen-, C₁-C₄-alkyl- or C₁-C₄-alkoxy-substituted phenoxy, oxetanyl-oxy, furyloxy or tetrahydrofuryloxy,

R² represents hydrogen, represents halogen, represents in each case optionally cyano-, halogen- or C₁-C₄-alkoxy-substituted alkyl, alkoxy, alkylthio, alkylamino or dialkylamino having in each case 1 to 4 carbon atoms in the alkyl groups, or represents in each case optionally cyano-, halogen-, C₁-C₄-alkyl- or C₁-C₄-alkoxy-substituted phenoxy, oxetanyl-oxy, furyloxy or tetrahydrofuryloxy,

R³ represents hydrogen or represents optionally C₁-C₄-alkoxy-, C₁-C₄-alkyl-carbonyl- or C₁-C₄-alkoxy-carbonyl-substituted alkyl having 1 to 4 carbon atoms,

R⁴ represents optionally cyano-, halogen- or C₁-C₄-alkoxy-substituted alkyl having 1 to 6 carbon atoms and – if Q represents NH – also represents hydrogen, and

R⁵ represents hydrogen, represents optionally cyano-, halogen- or C₁-C₄-alkoxy-substituted alkyl having 1 to 6 carbon atoms, represents in each case optionally halogen-substituted alkenyl or alkynyl having in each case 2 to 6 carbon atoms, represents in each case optionally cyano-, halogen- or C₁-C₄-alkyl-substituted cycloalkyl or cycloalkylalkyl having in each case 3 to 6 carbon atoms in the cycloalkyl groups and optionally 1 to 4 carbon atoms in the alkyl moiety, or represents in each case optionally cyano-, halogen-, C₁-C₄-alkyl- or C₁-C₄-alkoxy-substituted oxetanyl, furyl or tetrahydrofuryl.

3. (Once Amended) The Compounds according to Claim 1, characterized in that

R¹ represents hydrogen, fluorine, chlorine, bromine, iodine, or represents in each case optionally cyano-, fluorine-, chlorine-, methoxy- or ethoxy-substituted methyl, ethyl, n- or i-propyl, methoxy, ethoxy, n- or i-propoxy, methylthio, ethylthio, n- or i-propylthio, methylamino, ethylamino, n- or i-propylamino, dimethylamino or diethylamino,

R² represents fluorine, chlorine, bromine, or represents in each case optionally cyano-, fluorine-, chlorine-, methoxy- or ethoxy-substituted methyl, ethyl, n- or i-propyl, methoxy, ethoxy, n- or i-propoxy, methylthio, ethylthio, n- or i-propylthio, methylamino, ethylamino, n- or i-propylamino, dimethylamino or diethylamino,

R³ represents hydrogen or represents in each case optionally methoxy-, ethoxy-, n- or i-propoxy-, acetyl-, propionyl-, n- or i-butyryl-, methoxy-

carbonyl-, ethoxycarbonyl-, n- or i-propoxycarbonyl-substituted methyl or ethyl,

R⁴ represents in each case optionally cyano-, fluorine-, chlorine-, methoxy- or ethoxy-substituted methyl, ethyl, n- or i-propyl, n-, i-, s- or t-butyl, and

R⁵ represents hydrogen, represents in each case optionally cyano-, fluorine-, chlorine-, methoxy-, ethoxy-, n- or i-propoxy-substituted methyl, ethyl, n- or i-propyl, n-, i-, s- or t-butyl, represents in each case optionally fluorine-, chlorine- or bromine-substituted propenyl, butenyl, propinyl or butinyl, or represents in each case optionally cyano-, fluorine-, chlorine-, bromine-, methyl-, ethyl-, n- or i-propyl-substituted cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclopropylmethyl, cyclobutylmethyl, cyclopentylmethyl or cyclohexylmethyl.

4. (Once Amended) The ~~G~~compounds according to Claim 1, characterized in ~~that~~wherein

R¹ represents hydrogen, fluorine, chlorine, bromine, represents in each case optionally fluorine-, chlorine-, methoxy- or ethoxy-substituted methyl, ethyl, methoxy, ethoxy, methylthio, ethylthio, methylamino, ethylamino, or represents dimethylamino,

R² represents fluorine, chlorine, bromine, represents in each case optionally fluorine-, chlorine-, methoxy- or ethoxy-substituted methyl, ethyl, methoxy, ethoxy, methylthio, ethylthio, methylamino or ethylamino, or represents dimethylamino,

R³ represents hydrogen or methyl,

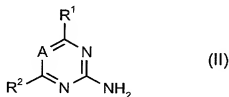
R⁴ represents in each case optionally fluorine- or chlorine-substituted methyl, ethyl, n- or i-propyl, and

R⁵ represents in each case optionally fluorine-, chlorine-, methoxy- or ethoxy-substituted methyl, ethyl, n- or i-propyl, or represents in each case optionally fluorine- or chlorine-substituted propenyl or propinyl.

5. (Once Amended) The compound of Claim 1, wherein said compound is a salt of said compound of the Formula I and said salt is selected from the group consisting of a Sodium, potassium, magnesium, calcium, ammonium, C₁-C₄-alkyl-ammonium, di-(C₁-C₄-alkyl)-ammonium, tri-(C₁-C₄-alkyl)-ammonium, tetra-(C₁-C₄-alkyl)-ammonium, tri-(C₁-C₄-alkyl)-sulphonium, C₅- or C₆-cycloalkyl-ammonium and di-(C₁-C₂-alkyl)-benzyl-ammonium salts of said compounds according to ~~any of c~~Claims 1, to 4.

6. (Once Amended) A Process for preparing a compounds according to any of Claims 1 to 5, characterized in that selected from the group consisting of processes (a), (b), (c) and (d), wherein

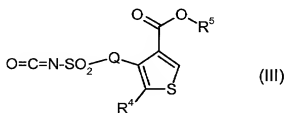
(a) said process (a) comprises the step of reacting an aminoazines of the general fFormula (II)



in whichwherein

A, R¹ and R² are each as defined in ~~any of~~ Claims 1 to 4

are reacted with a thienyl(amino)sulphonyl isocyanates of the general Formula (III)



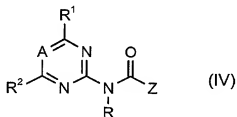
in which wherein

Q, R⁴ and R⁵ are each as defined in any of Claims 1 to 4,

if appropriate optionally in the presence of a reaction auxiliary and if appropriate optionally in the presence of a diluent,

or that

(b) said process (b) comprises the step of reacting a substituted aminoazines of the general Formula (IV)



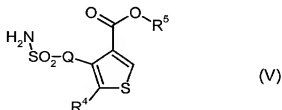
in which wherein

A, R¹ and R² are each as defined in any of Claims 1 to 4,

Z represents halogen, alkoxy or aryloxy and

R has the meaning given for R³ in any of eClaims 1 to 4 or represents the grouping -C(O)-Z,

are reacted with a thiophene derivatives of the general fFormula (V)



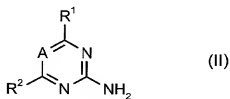
in which wherein

Q, R⁴ and R⁵ are each as defined in any of Claims 1 to 4,

if appropriate optionally in the presence of a reaction auxiliary and if appropriate optionally in the presence of a diluent,

or that

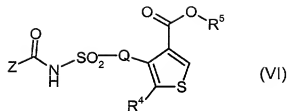
(c) said process (c) comprises the step of reacting an aminoazines of the general fFormula (II)



in which wherein

A, R¹ and R² are each as defined in any of Claims 1 to 4,

are reacted with a thiophene derivatives of the general fFormula (VI)



in which ~~wherein~~

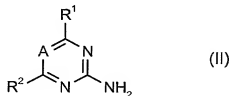
Q, R⁴ and R⁵ are each as defined in ~~any of Claims 1 to 4 and~~

Z represents halogen, alkoxy or aryloxy,

~~if appropriate~~optionally in the presence of a reaction auxiliary and if appropriate in the presence of a diluent,

~~or that~~

(d) said process (d) comprises the steps of reacting an aminoazines of the general Formula (II)

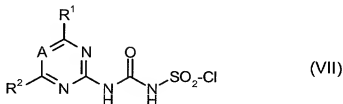


in which ~~wherein~~

A, R¹ and R² are each as defined in ~~any of Claims 1 to 4,~~

are reacted with a chlorosulphonyl isocyanate, ~~if appropriate~~optionally in the presence of a diluent, and

~~reacting the a~~ resulting chlorosulphonylaminocarbonylamino-azines of the general Formula (VII)

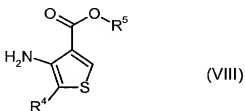


~~in which~~wherein

A, R¹ and R² are each as defined in ~~any of~~ Claims 1 to 4

~~are—~~ wherein said chlorosulphonylaminocarbonylamino-azine is reacted either after intermediate isolation or “in situ” -

~~reacted with a~~ substituted aminothiophenes of the general Formula (VIII)



~~in which~~wherein

R⁴ and R⁵ are each as defined in ~~any of~~ Claims 1 to 4,

~~if—appropriate~~optionally in the presence of a reaction auxiliary and if ~~appropriate~~optionally in the presence of a diluent,

~~and the compounds of the formula (I) obtained by each of said processes (a), (b), (c) or (d) respectively, are, if appropriate, converted by customary methods into~~optionally further comprising the step of converting the compound obtained by each of said respective process into a salts.

7. (Once Amended) A Method for controlling undesirable vegetation, characterized in that comprising the step of allowing one or more at least one compounds according to any of Claims 1 to 5 is allowed to act on a member selected from the group consisting of one or more undesirable plants, and/or their a habitat of said undesirable plants, and combinations thereof.
9. (Once Amended) An Hherbicide composition, characterized in that it comprisescomprising a compound according to any of Claims 1 to 5 and a member selected from the group consisting of one or more customary extenders, and/or one or more surfactants and combinations thereof.

Substituted thienyl(amino)sulphonylureas

JC13 Rec'd PCT/PTO 31 JAN 2002

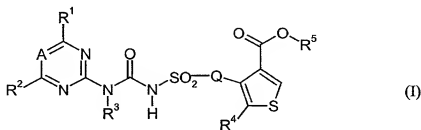
The invention relates to novel substituted thienyl(amino)sulphonylureas, to processes for their preparation and to their use as herbicides.

5

It is already known that certain substituted thienylsulphonylureas have herbicidal properties (cf. EP-A-30142 / US-A-4481029 / US-A-4599103 / US-A-4701535, EP-A-97122 / US-A-4549898, EP-A-207609 / US-A-4668281). However, the herbicidal activity of these known compounds is not entirely satisfactory.

10

This invention, accordingly, provides the novel substituted thienyl(amino)sulphonylureas of the general formula (I)



15

in which

A represents nitrogen or a CH grouping,

Q represents a single bond or represents NH,

20

R1 represents hydrogen, halogen or in each case optionally substituted alkyl, alkoxy, alkylthio, alkylamino, dialkylamino, aryloxy or heterocyclyloxy,

R2 represents hydrogen, halogen or in each case optionally substituted alkyl, alkoxy, alkylthio, alkylamino, dialkylamino, aryloxy or heterocyclyloxy,

25

R3 represents hydrogen or optionally substituted alkyl,

R⁴ represents halogen or optionally substituted alkyl and – if Q represents NH – also represents hydrogen, and

5 R⁵ represents hydrogen or in each case optionally substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl or heterocyclyl,

and salts of compounds of the formula (I).

10 Saturated or unsaturated hydrocarbon groupings, such as alkyl, alkenyl or alkynyl, are in each case straight-chain or branched as far as this is possible – including in combination with hetero atoms, such as in alkoxy.

15 Optionally substituted radicals can be mono- or polysubstituted, where in the case of polysubstitution the substituents can be identical or different.

Preferred substituents or ranges of the radicals which are present in the formulae given above and below are defined below.

20 A preferably represents nitrogen or a CH grouping.

Q preferably represents a single bond or represents NH.

25 R¹ preferably represents hydrogen, represents halogen, represents in each case optionally cyano-, halogen- or C₁-C₄-alkoxy-substituted alkyl, alkoxy, alkylthio, alkylamino or dialkylamino having in each case 1 to 4 carbon atoms in the alkyl groups, or represents in each case optionally cyano-, halogen-, C₁-C₄-alkyl- or C₁-C₄-alkoxy-substituted phenoxy, oxetanyloxy, furyloxy or tetrahydrofuryloxy.

30

- 5 R² preferably represents hydrogen, represents halogen, represents in each case optionally cyano-, halogen- or C₁-C₄-alkoxy-substituted alkyl, alkoxy, alkylthio, alkylamino or dialkylamino having in each case 1 to 4 carbon atoms in the alkyl groups, or represents in each case optionally cyano-, halogen-, C₁-C₄-alkyl- or C₁-C₄-alkoxy-substituted phenoxy, oxetanyloxy, furyloxy or tetrahydrofuryloxy.
- 10 R³ preferably represents hydrogen or represents optionally C₁-C₄-alkoxy-, C₁-C₄-alkyl-carbonyl- or C₁-C₄-alkoxy-carbonyl-substituted alkyl having 1 to 4 carbon atoms.
- 15 R⁴ preferably represents optionally cyano-, halogen- or C₁-C₄-alkoxy-substituted alkyl having 1 to 6 carbon atoms and – if Q represents NH – also represents hydrogen.
- 20 R⁵ preferably represents hydrogen, represents optionally cyano-, halogen- or C₁-C₄-alkoxy-substituted alkyl having 1 to 6 carbon atoms, represents in each case optionally halogen-substituted alkenyl or alkynyl having in each case 2 to 6 carbon atoms, represents in each case optionally cyano-, halogen- or C₁-C₄-alkyl-substituted cycloalkyl or cycloalkylalkyl having in each case 3 to 6 carbon atoms in the cycloalkyl groups and optionally 1 to 4 carbon atoms in the alkyl moiety, or represents in each case optionally cyano-, halogen-, C₁-C₄-alkyl- or C₁-C₄-alkoxy-substituted oxetanyl, furyl or tetrahydrofuryl.
- 25 A particularly preferably represents nitrogen or a CH grouping.
- Q particularly preferably represents a single bond or represents NH.
- 30 R¹ particularly preferably represents hydrogen, fluorine, chlorine, bromine, iodine, or represents in each case optionally cyano-, fluorine-, chlorine-,

methoxy- or ethoxy-substituted methyl, ethyl, n- or i-propyl, methoxy, ethoxy, n- or i-propoxy, methylthio, ethylthio, n- or i-propylthio, methylamino, ethylamino, n- or i-propylamino, dimethylamino or diethylamino.

5 R² particularly preferably represents fluorine, chlorine, bromine, or represents in each case optionally cyano-, fluorine-, chlorine-, methoxy- or ethoxy-substituted methyl, ethyl, n- or i-propyl, methoxy, ethoxy, n- or i-propoxy, methylthio, ethylthio, n- or i-propylthio, methylamino, ethylamino, n- or i-propylamino, dimethylamino or diethylamino.

10 R³ particularly preferably represents hydrogen or represents in each case optionally methoxy-, ethoxy-, n- or i-propoxy-, acetyl-, propionyl-, n- or i-butyryl-, methoxycarbonyl-, ethoxycarbonyl-, n- or i-propoxycarbonyl-substituted methyl or ethyl.

15 R⁴ particularly preferably represents in each case optionally cyano-, fluorine-, chlorine-, methoxy- or ethoxy-substituted methyl, ethyl, n- or i-propyl, n-, i-, s- or t-butyl.

20 R⁵ particularly preferably represents hydrogen, represents in each case optionally cyano-, fluorine-, chlorine-, methoxy-, ethoxy-, n- or i-propoxy-substituted methyl, ethyl, n- or i-propyl, n-, i-, s- or t-butyl, represents in each case optionally fluorine-, chlorine- or bromine-substituted propenyl, butenyl, propinyl or butinyl, or represents in each case optionally cyano-, fluorine-, chlorine-, bromine-, methyl-, ethyl-, n- or i-propyl-substituted cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclopropylmethyl, cyclobutylmethyl, cyclopentylmethyl or cyclohexylmethyl.

30 A very particularly preferably represents nitrogen or a CH grouping.

Q very particularly preferably represents a single bond or represents NH.

5 R¹ very particularly preferably represents hydrogen, fluorine, chlorine, bromine, represents in each case optionally fluorine-, chlorine-, methoxy- or ethoxy-substituted methyl, ethyl, methoxy, ethoxy, methylthio, ethylthio, methyl-amino, ethylamino, or represents dimethylamino.

10 R² very particularly preferably represents fluorine, chlorine, bromine, represents in each case optionally fluorine-, chlorine-, methoxy- or ethoxy-substituted methyl, ethyl, methoxy, ethoxy, methylthio, ethylthio, methylamino or ethyl-amino, or represents dimethylamino.

R³ very particularly preferably represents hydrogen or methyl.

15 R⁴ very particularly preferably represents in each case optionally fluorine- or chlorine-substituted methyl, ethyl, n- or i-propyl.

20 R⁵ very particularly preferably represents in each case optionally fluorine-, chlorine-, methoxy- or ethoxy-substituted methyl, ethyl, n- or i-propyl, or represents in each case optionally fluorine- or chlorine-substituted propenyl or propinyl.

A most preferably represents a CH grouping.

25 R¹ and R² most preferably represent methoxy.

R³ most preferably represents hydrogen.

30 The invention also preferably provides the sodium, potassium, magnesium, calcium, ammonium, C₁-C₄-alkyl-ammonium, di-(C₁-C₄-alkyl)-ammonium, tri-(C₁-C₄-alkyl)-ammonium, tetra-(C₁-C₄-alkyl)-ammonium, tri-(C₁-C₄-alkyl)-sulphonium, C₅- or C₆-cycloalkyl-ammonium- and di-(C₁-C₂-alkyl)-benzyl-ammonium salts of

compounds of the formula (I), in which A, Q, R¹, R², R³, R⁴ and R⁵ have the meaning given above as being preferred.

5 The abovementioned general or preferred radical definitions apply both to the end products of the formula (I) and, correspondingly, to the starting materials or intermediates required in each case for the preparation. These radical definitions can be combined with one another as desired, i.e. including combinations between the given ranges of preferred compounds.

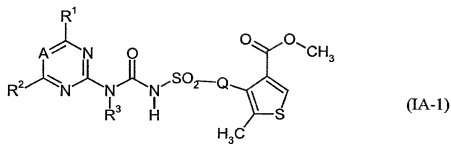
10 Preference according to the invention is given to those compounds of the formula (I) which contain a combination of the meanings given above as being preferred.

15 Particular preference according to the invention is given to those compounds of the formula (I) which contain a combination of the meanings given above as being particularly preferred.

20 Very particular preference according to the invention is given to those compounds of the formula (I) which contain a combination of the meanings given above as being very particularly preferred.

Most preference according to the invention is given to the compounds in which R¹, R², R³ or A have one of the meanings given as being most preferred.






25 Examples of the compounds of the formula (I) according to the invention are listed in the groups below.

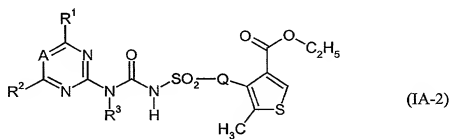
Group 1

Here, A, Q, R¹, R² and R³ have, for example, the meanings listed below:

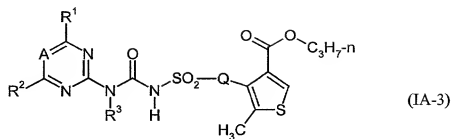
5

A	Q	R ¹	R ²	R ³
CH	-	OCH ₃	OCH ₃	H
CH	NH	OCH ₃	OCH ₃	H
CH	-	CH ₃	OCH ₃	H
CH	NH	CH ₃	OCH ₃	H
CH		CH ₃	CH ₃	H
CH	NH	CH ₃	CH ₃	H
CH	-	Cl	OCH ₃	H
CH	-	H	CH ₃	H
N	-	CH ₃	OCH ₃	CH ₃
N	-	OCH ₃	OCH ₃	CH ₃
N	-	CH ₃	OCH ₃	H
N	NH	CH ₃	OCH ₃	H
N	-	OCH ₃	OCH ₃	H
N	NH	OCH ₃	OCH ₃	H
N	-	CH ₃	CH ₃	H
N	-	OCHF ₂	N(CH ₃) ₂	H
N	-	CH ₃	SCH ₃	H
N	-	C ₂ H ₅	OCH ₃	H
N	-	CH ₃	OC ₂ H ₅	H
N	-	H	OCH ₃	H

A	Q	R ¹	R ²	R ³
N	-	OCH ₃		H
N	-	CH ₃	N(CH ₃) ₂	H
CH	-	OCH ₃		H
CH	-	CH ₃		H
CH	-	Cl		H
N	-	H		H
N	-	N(CH ₃) ₂	OCH ₂ CF ₃	H

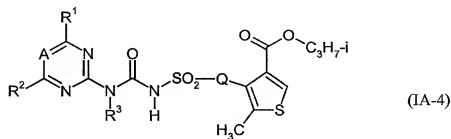
Group 2

Here, A, Q, R¹, R² and R³ have, for example, the meaning given above in Group 1.

Group 3

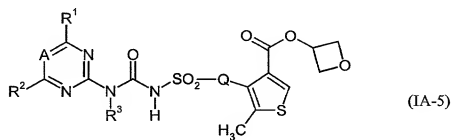
Here, A, Q, R¹, R² and R³ have, for example, the meaning given above in Group 1.

5

Group 4

Here, A, Q, R¹, R² and R³ have, for example, the meaning given above in Group 1.

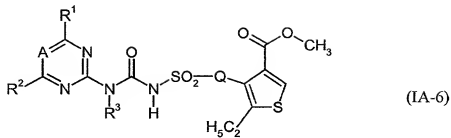
10

Group 5

Here, A, Q, R¹, R² and R³ have, for example, the meaning given above in Group 1.

15

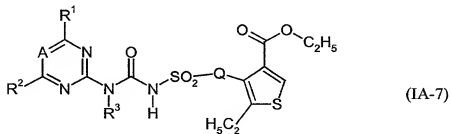
Group 6



Here, A, Q, R¹, R² and R³ have, for example, the meaning given above in Group 1.

5

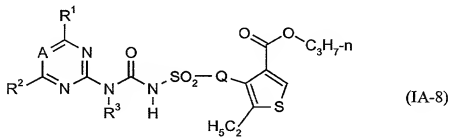
Group 7



Here, A, Q, R¹, R² and R³ have, for example, the meaning given above in Group 1.

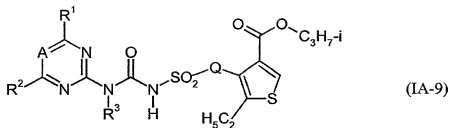
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Group 8



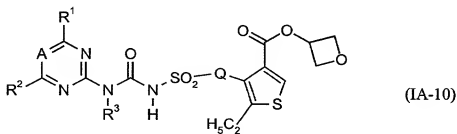
Here, A, Q, R¹, R² and R³ have, for example, the meaning given above in Group 1.

15

Group 9

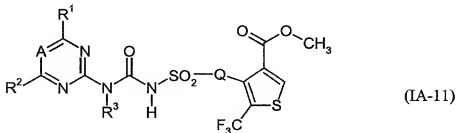
Here, A, Q, R¹, R² and R³ have, for example, the meaning given above in Group 1.

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Group 10

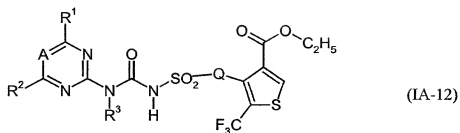
Here, A, Q, R¹, R² and R³ have, for example, the meaning given above in Group 1.

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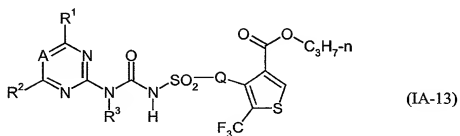
Group 11

Here, A, Q, R¹, R² and R³ have, for example, the meaning given above in Group 1.

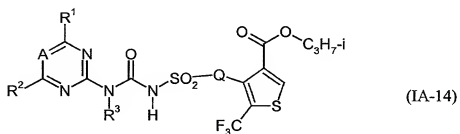
15

Group 12

Here, A, Q, R¹, R² and R³ have, for example, the meaning given above in Group 1.

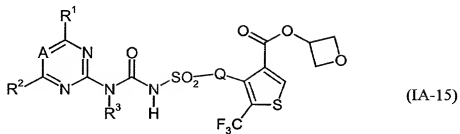
Group 13

Here, A, Q, R¹, R² and R³ have, for example, the meaning given above in Group 1.

Group 14

Here, A, Q, R¹, R² and R³ have, for example, the meaning given above in Group 1.

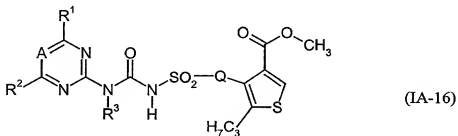
Group 15



Here, A, Q, R¹, R² and R³ have, for example, the meaning given above in Group 1.

5

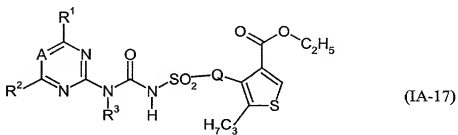
Group 16



Here, A, Q, R¹, R² and R³ have, for example, the meaning given above in Group 1.

10

Group 17

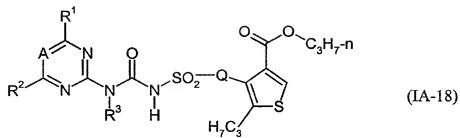


Here, A, Q, R¹, R² and R³ have, for example, the meaning given above in Group

15

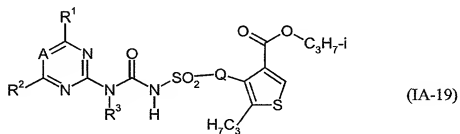
1.

Group 18



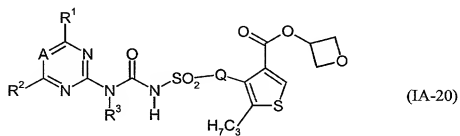
Here, A, Q, R¹, R² and R³ have, for example, the meaning given above in Group 1.

Group 19

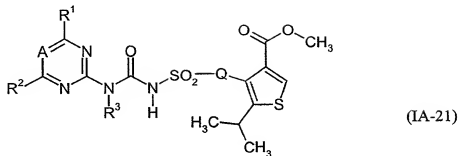


Here, A, Q, R¹, R² and R³ have, for example, the meaning given above in Group 1.

Group 20

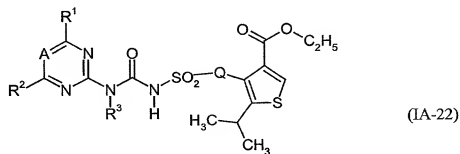


Here, A, Q, R¹, R² and R³ have, for example, the meaning given above in Group 1.

Group 21

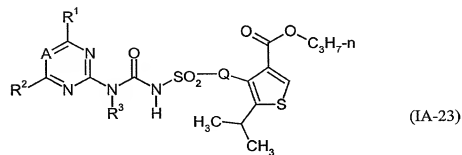
Here, A, Q, R¹, R² and R³ have, for example, the meaning given above in Group 1.

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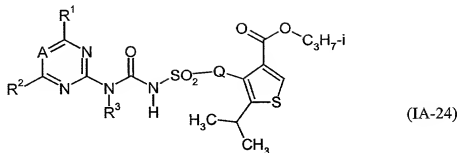
Group 22

Here, A, Q, R¹, R² and R³ have, for example, the meaning given above in Group 1.

10

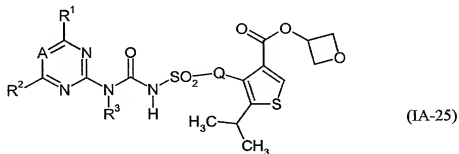
Group 23

15 Here, A, Q, R¹, R² and R³ have, for example, the meaning given above in Group 1.

Group 24

Here, A, Q, R¹, R² and R³ have, for example, the meaning given above in Group 1.

5

Group 25

Here, A, Q, R¹, R² and R³ have, for example, the meaning given above in Group 1.

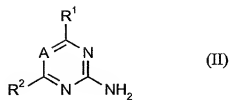
10

The novel substituted thienyl(amino)sulphonylureas of the general formula (I) have strong herbicidal activity.

The novel substituted thienyl(amino)sulphonylureas of the general formula (I) are obtained when

15

(a) aminoazines of the general formula (II)

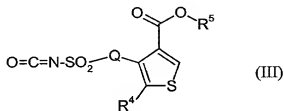


in which

A, R¹ and R² are each as defined above

5

are reacted with thienyl(amino)sulphonyl isocyanates of the general formula (III)



in which

10

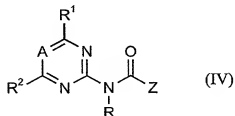
Q, R⁴ and R⁵ are each as defined above,

if appropriate in the presence of a reaction auxiliary and if appropriate in the presence of a diluent,

15

or when

(b) substituted aminoazines of the general formula (IV)



20

in which

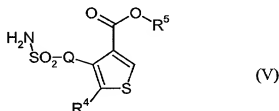
A, R¹ and R² are each as defined above,

Z represents halogen, alkoxy or aryloxy and

5

R has the meaning given above for R³ or represents the grouping -C(O)-Z,

are reacted with thiophene derivatives of the general formula (V)



10

in which

Q, R⁴ and R⁵ are each as defined above,

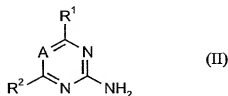
15

if appropriate in the presence of a reaction auxiliary and if appropriate in the presence of a diluent,

or when

20

(c) aminoazines of the general formula (II)

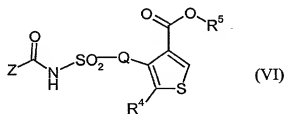


in which

25

A, R¹ and R² are each as defined above,

are reacted with thiophene derivatives of the general formula (VI)



5 in which

Q, R⁴ and R⁵ are each as defined above and

Z represents halogen, alkoxy or aryloxy,

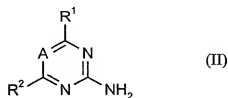
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if appropriate in the presence of a reaction auxiliary and if appropriate in the presence of a diluent,

or when

15

(d) aminoazines of the general formula (II)



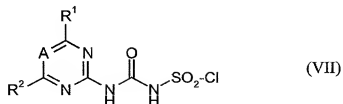
in which

20

A, R¹ and R² are each as defined above,

are reacted with chlorosulphonyl isocyanate, if appropriate in the presence of a diluent, and the resulting chlorosulphonylaminocarbonylamino-azines of the general formula (VII)

25



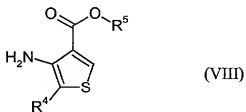
in which

5 A, R¹ and R² are each as defined above

are — after intermediate isolation or “in situ” —

reacted with substituted aminothiophenes of the general formula (VIII)

10



in which

R⁴ and R⁵ are each as defined above,

15

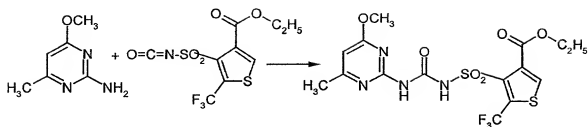
if appropriate in the presence of a reaction auxiliary and if appropriate in the presence of a diluent,

20

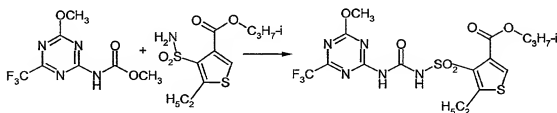
and the compounds of the formula (I) obtained by process (a), (b), (c) or (d) are, if appropriate, converted by customary methods into salts.

25

Using, for example, 2-amino-4-methoxy-6-methyl-pyrimidine and 4-ethoxycarbonyl-2-trifluoromethyl-thien-3-yl-sulphonyl isocyanate as starting materials, the course of the reaction in the process (a) according to the invention can be illustrated by the following formula scheme:



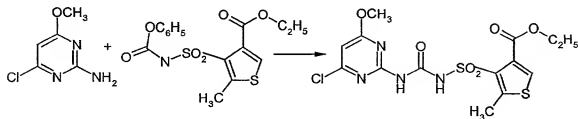
- Using, for example, 2-methoxycarbonylamino-4-methoxy-6-trifluoromethyl-1,3,5-triazine and 2-ethyl-4-i-propoxycarbonyl-thiophene-3-sulphonamide as starting materials, the course of the reaction in the process (b) according to the invention can be illustrated by the following formula scheme:



10

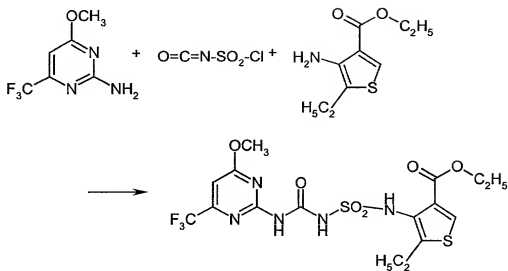
Using, for example, 2-amino-4-chloro-6-methoxy-pyrimidine and O-phenyl N-(4-ethoxycarbonyl-2-methyl-thien-3-yl-sulphonyl)-urethane as starting materials, the course of the reaction in the process (c) according to the invention can be illustrated by the following formula scheme:

15



20

Using, for example, 2-amino-4-methoxy-6-trifluoromethyl-pyrimidine, chloro-sulphonyl isocyanate and ethyl 3-amino-2-ethyl-thiophene-4-carboxylate as starting materials, the course of the reaction in the process (d) according to the invention can be illustrated by the following formula scheme:



The formula (II) provides a general definition of the aminoazines to be used as starting materials in the processes (a), (c) and (d) according to the invention for preparing the compounds of the general formula (I). In the formula (II), A, R¹ and R² each preferably or in particular have those meanings which have already been mentioned above, in connection with the description of the compounds of the formula (I), as being preferred or as being particularly preferred for A, R¹ and R².

The aminoazines of the formula (II) are known chemicals for synthesis, some of which are commercially available.

The formula (III) provides a general definition of the thienyl(amino)sulfonyl isocyanates further to be used as starting materials in the process (a) according to the invention. In the formula (III), Q, R⁴ and R⁵ each preferably or in particular have those meanings which have already been mentioned above, in connection with the description of the compounds of the formula (I), as being preferred or as being particularly preferred for Q, R⁴ and R⁵.

The starting materials of the formula (III) are known and/or can be prepared by processes known per se (cf. EP 30142 / US-A-4481029 / US-A-4599103 / US-A-4701535).

The thienyl(amino)sulphonyl isocyanates of the formula (III) are obtained when thiophene derivatives of the general formula (V) – above – are reacted with phosgene or thiophosgene, if appropriate in the presence of an alkyl isocyanate, such as, for example, butyl isocyanate, if appropriate in the presence of a reaction auxiliary, such as, for example, diazabicyclo[2.2.2]octane, and in the presence of a diluent, such as, for example, toluene, xylene or chlorobenzene, at temperatures between 80°C and 150°C, and the volatile components are, after the reaction has ended, distilled off under reduced pressure.

The formula (IV) provides a general definition of the substituted aminoazines to be used as starting materials in the process (b) according to the invention for preparing the compounds of the formula (I). In the formula (IV), A, R¹ and R² each preferably or in particular have those meanings which have already been mentioned above, in connection with the description of the compounds of the formula (I), as being preferred or as being particularly preferred for A, R¹ and R²; Z preferably represents fluorine, chlorine, bromine, C₁-C₄-alkoxy or phenoxy, in particular, chlorine, methoxy, ethoxy or phenoxy.

The starting materials of the formula (IV) are known and/or can be prepared by processes known per se (cf. US 4 690 707, DE 19 501 174).

The formula (V) provides a general definition of the thiophene derivatives further to be used as starting materials in the process (b) according to the invention. In the formula (V), Q, R⁴ and R⁵ each preferably or in particular have those meanings which have already been mentioned above, in connection with the description of the compounds of the formula (I), as being preferred or as being particularly preferred for Q, R⁴ and R⁵.

The starting materials of the formula (V) are known and/or can be prepared by processes known per se (cf. EP 30 142 / US-A-4 481 029 / US-A-4 599 103 / US-A-4 701 535, Preparation Examples).

The formula (VI) provides a general definition of the substituted thiophene derivatives to be used as starting materials in the process (c) according to the invention for preparing the compounds of the formula (I). In the formula (VI), Q, R⁴ and R⁵ each preferably or in particular have those meanings which have already been mentioned above, in connection with the description of the compounds of the formula (I), as being preferred or as being particularly preferred for Q, R⁴ and R⁵; Z preferably represents fluorine, chlorine, bromine, C₁-C₄-alkoxy or phenoxy, in particular chlorine, methoxy, ethoxy or phenoxy.

The starting materials of the formula (VI) are known and/or can be prepared by processes known per se.

The formula (VIII) provides a general definition of the substituted aminothiophenes to be used as starting materials in the process (d) according to the invention for preparing compounds of the general formula (I). In the general formula (VIII), R⁴ and R⁵ each preferably or in particular have those meanings which have already been mentioned above, in connection with the description of the compounds of the general formula (I) according to the invention, as being preferred or as being particularly preferred for R⁴ and R⁵.

The starting materials of the general formula (VIII) are known and/or can be prepared by processes known per se (cf. Aust. J. Chem. 48 (1995), 1907-1916).

Suitable diluents for carrying out the processes (a), (b), (c) and (d) according to the invention are especially inert organic solvents. These include, in particular, aliphatic, alicyclic or aromatic, optionally halogenated hydrocarbons, such as, for example, benzene, toluene, xylene, chlorobenzene, dichlorobenzene, petroleum ether, hexane, cyclohexane, dichloromethane, chloroform, carbon tetrachloride; ethers, such as diethyl ether, diisopropyl ether, dioxane, tetrahydrofuran or ethylene glycol dimethyl ether or ethylene glycol diethyl ether; ketones, such as acetone, butanone or

methyl isobutyl ketone; nitriles, such as acetonitrile, propionitrile or benzonitrile; amides, such as N,N-dimethylformamide, N,N-dimethylacetamide, N-methylformanilide, N-methylpyrrolidone or hexamethylphosphoric triamide; esters, such as methyl acetate or ethyl acetate, and sulphoxides, such as dimethyl sulphoxide.

5

The processes (a), (b), (c) and (d) according to the invention are preferably carried out in the presence of a suitable reaction auxiliary. Suitable reaction auxiliaries are all customary inorganic or organic bases. These include, for example, alkaline earth metal or alkali metal hydrides, hydroxides, amides, alkoxides, acetates, carbonates or bicarbonates, such as, for example, sodium hydride, sodium amide, sodium methoxide, sodium ethoxide, potassium tert-butoxide, sodium hydroxide, potassium hydroxide, ammonium hydroxide, sodium acetate, potassium acetate, calcium acetate, ammonium acetate, sodium carbonate, potassium carbonate, potassium bicarbonate, sodium bicarbonate or ammonium carbonate, and also tertiary amines, such as trimethylamine, triethylamine, tributylamine, N,N-dimethylaniline, pyridine, N-methylpiperidine, N,N-dimethylaminopyridine, diazabicyclooctane (DABCO), diazabicyclononene (DBN) or diazabicycloundecene (DBU).

10

15

20

When carrying out the processes (a), (b), (c) and (d) according to the invention, the reaction temperatures can be varied within a relatively wide range. In general, the processes are carried out at temperatures between -20°C and $+150^{\circ}\text{C}$, preferably between -10°C and $+120^{\circ}\text{C}$.

25

The processes (a), (b), (c) and (d) according to the invention are generally carried out under atmospheric pressure. However, it is also possible to carry out the processes according to the invention under elevated or reduced pressure – in general between 0.1 bar and 10 bar.

30

For carrying out the processes (a), (b), (c) and (d) according to the invention, the starting materials are generally employed in approximately equimolar amounts. However, it is also possible to use a relatively large excess of one of the components.

The reaction is generally carried out in a suitable diluent in the presence of a reaction auxiliary, and the reaction mixture is generally stirred at the required temperature for several hours. Work-up is carried out by customary methods (cf. the Preparation Examples).

5

If appropriate, salts can be prepared from the compounds of the general formula (I) according to the invention. Such salts are obtained in a simple manner by customary methods for forming salts, for example by dissolving or dispersing a compound of the formula (I) in a suitable solvent, such as, for example, methylene chloride, acetone, tert-butyl methyl ether or toluene, and adding a suitable base. The salts can then – if appropriate after prolonged stirring – be isolated by concentration or filtering off with suction.

10

15

The active compounds according to the invention can be used as defoliants, desiccants, haulm killers and, especially, as weed killers. By weeds in the broadest sense, there are to be understood all plants which grow in locations where they are not wanted. Whether the substances according to the invention act as total or selective herbicides depends essentially on the amount used.

20

The active compounds according to the invention can be used, for example, in connection with the following plants:

25

30

Dicotyledonous weeds of the genera: Abutilon, Amaranthus, Ambrosia, Anoda, Anthemis, Aphanes, Atriplex, Bellis, Bidens, Capsella, Carduus, Cassia, Centaurea, Chenopodium, Cirsium, Convolvulus, Datura, Desmodium, Emex, Erysimum, Euphorbia, Galeopsis, Galinsoga, Galium, Hibiscus, Ipomoea, Kochia, Lamium, Lepidium, Lindernia, Matricaria, Mentha, Mercurialis, Mullugo, Myosotis, Papaver, Pharbitis, Plantago, Polygonum, Portulaca, Ranunculus, Raphanus, Rorippa, Rotala, Rumex, Salsola, Senecio, Sesbania, Sida, Sinapis, Solanum, Sonchus, Spheonoclea, Stellaria, Taraxacum, Thlaspi, Trifolium, Urtica, Veronica, Viola, Xanthium.

Dicotyledonous crops of the genera: Arachis, Beta, Brassica, Cucumis, Cucurbita, Helianthus, Daucus, Glycine, Gossypium, Ipomoea, Lactuca, Linum, Lycopersicon, Nicotiana, Phaseolus, Pisum, Solanum, Vicia.

- 5 Monocotyledonous weeds of the genera: Aegilops, Agropyron, Agrostis, Alopecurus, Apera, Avena, Brachiaria, Bromus, Cenchrus, Commelina, Cynodon, Cyperus, Dactyloctenium, Digitaria, Echinochloa, Eleocharis, Eleusine, Eragrostis, Eriochloa, Festuca, Fimbristylis, Heteranthera, Imperata, Ischaemum, Leptochloa, Lolium, Monochoria, Panicum, Paspalum, Phalaris, Phleum, Poa, Rottboellia, Sagittaria, 10 Scirpus, Setaria, Sorghum.

Monocotyledonous crops of the genera: Allium, Ananas, Asparagus, Avena, Hordeum, Oryza, Panicum, Saccharum, Secale, Sorghum, Triticale, Triticum, Zea.

- 15 However, the use of the active compounds according to the invention is in no way restricted to these genera, but also extends in the same manner to other plants.

- The active compounds according to the invention are suitable, depending on the concentration, for the total control of weeds, for example on industrial terrain and 20 railway tracks, and on paths and squares with or without tree plantings. Equally, the active compounds according to the invention can be employed for the control of weeds in perennial crops, for example forests, decorative tree plantings, orchards, vineyards, citrus groves, nut orchards, banana plantations, coffee plantations, tea plantations, rubber plantations, oil palm plantations, cocoa plantations, soft fruit plantings and hop 25 fields, in lawns, turf and pasture-land, and for the selective control of weeds in annual crops.

- The compounds of the formula (I) according to the invention have strong herbicidal activity and a broad activity spectrum when used on the soil and on above-ground parts 30 of plants. To a certain extent, they are also suitable for the selective control of

monocotyledonous and dicotyledonous weeds in monocotyledonous and dicotyledonous crops, both pre-emergence and post-emergence.

5 The active compounds can be converted into the customary formulations, such as solutions, emulsions, wettable powders, suspensions, powders, dusting agents, pastes, soluble powders, granules, suspo-emulsion concentrates, natural and synthetic materials impregnated with active compound, and very fine capsules in polymeric substances.

10 These formulations are produced in a known manner, for example by mixing the active compounds with extenders, that is liquid solvents and/or solid carriers, optionally with the use of surfactants, that is emulsifiers and/or dispersants and/or foam formers.

15 If the extender used is water, it is also possible to employ, for example, organic solvents as auxiliary solvents. Suitable liquid solvents are essentially the following: aromatics, such as xylene, toluene or alkylnaphthalenes, chlorinated aromatics and chlorinated aliphatic hydrocarbons, such as chlorobenzenes, chloroethylenes or methylene chloride, aliphatic hydrocarbons, such as cyclohexane or paraffins, for example petroleum fractions, mineral and vegetable oils, alcohols, such as butanol or glycol and also their ethers and esters, ketones, such as acetone, methyl ethyl ketone, methyl isobutyl ketone or cyclohexanone, strongly polar solvents, such as dimethylformamide and dimethyl sulphoxide, and also water.

20

25 Suitable solid carriers are: for example ammonium salts and ground natural minerals, such as kaolins, clays, talc, chalk, quartz, attapulgite, montmorillonite or diatomaceous earth, and ground synthetic minerals, such as finely divided silica, alumina and silicates; suitable solid carriers for granules are: for example crushed and fractionated natural rocks such as calcite, marble, pumice, sepiolite and dolomite, and also synthetic granules of inorganic and organic meals, and granules of organic material such as sawdust, coconut shells, maize cobs and tobacco stalks; suitable emulsifying and/or

30

foam-forming agents are: for example nonionic and anionic emulsifiers, such as

polyoxyethylene fatty acid esters, polyoxyethylene fatty alcohol ethers, for example alkylaryl polyglycol ethers, alkylsulphonates, alkyl sulphates, arylsulphonates as well as protein hydrolysates; suitable dispersing agents are: for example lignin-sulphite waste liquors and methylcellulose.

5

Tackifiers such as carboxymethylcellulose and natural and synthetic polymers in the form of powders, granules or latexes, such as gum arabic, polyvinyl alcohol and polyvinyl acetate, as well as natural phospholipids, such as cephalins and lecithins, and synthetic phospholipids, can be used in the formulations. Other possible additives are mineral and vegetable oils.

10

It is possible to use colorants such as inorganic pigments, for example iron oxide, titanium oxide and Prussian Blue, and organic dyes, such as alizarin dyes, azo dyes and metal phthalocyanine dyes, and trace nutrients such as salts of iron, manganese, boron, copper, cobalt, molybdenum and zinc.

15

The formulations in general contain between 0.1 and 95 per cent by weight of active compound, preferably between 0.5 and 90%.

20

For the control of weeds, the active compounds according to the invention, as such or in their formulations, can also be used as mixtures with known herbicides, finished formulations or tank mixes being possible.

Possible components for the mixtures are known herbicides, for example

25

acetochlor, acifluorfen(-sodium), aclonifen, alachlor, alloxidim(-sodium), ametryne, amidochlor, amidosulfuron, anilofos, asulam, atrazine, azafenidin, azimsulfuron, benazolin(-ethyl), benfuresate, bensulfuron(-methyl), bentazone, benzobicyclon, benzofenap, benzoylprop(-ethyl), bialaphos, bifenox, bispyribac(-sodium), bromobutide, bromofenoxim, bromoxynil, butachlor, butoxydim, butylate, cafenstrole, caloxydim, carbetamide, carfentrazone(-ethyl), chlomethoxyfen, chloramben,

30

- chloridazon, chlorimuron(-ethyl), chlornitrofen, chlorsulfuron, chlorotoluron, cinidon(-ethyl), cinnmethylin, cinosulfuron, clefoxydim, clethodim, clodinafop(-propargyl), clomazone, clomeprop, clopyralid, clopyrasulfuron(-methyl), cloransulam(-methyl), cumyluron, cyanazine, cybutryne, cycloate, cyclosulfamuron, cycloxydim, cyhalofop(-butyl), 2,4-D, 2,4-DB, 2,4-DP, desmedipham, diallate, dicamba, diclofop(-methyl), diclosulam, diethatyl(-ethyl), difenzoquat, diflufenican, diflufenzopyr, dimefuron, dimepiperate, dimethachlor, dimethametryn, dimethenamid, dimexyflam, dinitramine, diphenamid, diquat, dithiopyr, diuron, dymron, epoprodan, EPTC, esprocarb, ethalfluralin, ethametsulfuron(-methyl), ethofumesate, ethoxyfen, ethoxysulfuron, etobenzanid, fenoxaprop(-P-ethyl), fentrazamide, flamprop(-isopropyl), flamprop(-isopropyl-L), flamprop(-methyl), flazasulfuron, florasulam, fluazifop(-P-butyl), fluazolate, flucarbazone, flufenacet, flumetsulam, flumiclorac(-pentyl), flumioxazin, flumipropyn, flumetsulam, fluometuron, fluorochloridone, fluoroglycofen(-ethyl), flupoxam, flupropacil, flurpyrsulfuron(-methyl, -sodium), flurenol(-butyl), fluridone, fluroxypryr(-methyl), flurprimidol, flurtamone, fluthiacet(-methyl), fluthiamide, fomesafen, glufosinate(-ammonium), glyphosate(-isopropylammonium), halosafen, haloxyfop(-ethoxyethyl), haloxyfop(-P-methyl), hexazinone, imazamethabenz(-methyl), imazamethapyr, imazamox, imazapic, imazapyr, imazaquin, imazethapyr, imazosulfuron, iodosulfuron(-methyl, -sodium), ioxynil, isopropalin, isoproturon, isouron, isoxaben, isoxachlortole, isoxaflutole, isoxapyrifop, lactofen, lenacil, linuron, MCPA, MCPP, mefenacet, mesotrione, metamitron, metazachlor, methabenzthiazuron, metobenzuron, metobromuron, (alpha)-metolachlor, metosulam, metoxuron, metribuzin, metsulfuron(-methyl), molinate, monolinuron, naproanilide, napropamide, neburon, nicosulfuron, norflurazon, orbencarb, oryzalin, oxadiargyl, oxadiazon, oxasulfuron, oxaziclomefone, oxyfluorfen, paraquat, pelargonic acid, pendimethalin, pendralin, pentoxazone, phenmedipham, piperophos, pretilachlor, primisulfuron(-methyl), prometryn, propachlor, propanil, propaquizafop, propisochlor, propyzamide, prosulfocarb, prosulfuron, pyraflufen(-ethyl), pyrazolate, pyrazosulfuron(-ethyl), pyrazoxyfen, pyribenzoxim, pyributicarb, pyridate, pyriminobac(-methyl), pyriithobac(-sodium), quinchlorac, quinmerac, quinochloramine,

5 quizalofop(-P-ethyl), quizalofop(-P-tefuryl), rimsulfuron, sethoxydim, simazine, simetryn, sulcotrione, sulfentrazone, sulfometuron(-methyl), sulfosate, sulfosulfuron, tebutam, tebuthiuron, tepraloxydim, terbuthylazine, terbutryn, thenylchlor, thiaflumide, thiazopyr, thidiazimin, thifensulfuron(-methyl), thiobencarb, tiocarbazil, tralkoxydim, triallate, triasulfuron, tribenuron(-methyl), triclopyr, tridiphane, trifluralin and triflusaluron.

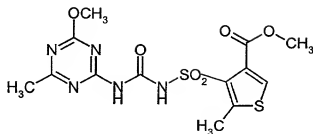
10 A mixture with other known active compounds, such as fungicides, insecticides, acaricides, nematocides, bird repellents, plant nutrients and agents which improve soil structure, is also possible.

15 The active compounds can be used as such, in the form of their formulations or in the use forms prepared therefrom by further dilution, such as ready-to-use solutions, suspensions, emulsions, powders, pastes and granules. They are used in the customary manner, for example by watering, spraying, atomizing or scattering.

The active compounds according to the invention can be applied either before or after emergence of the plants. They can also be incorporated into the soil before sowing.

20 The amount of active compound used can vary within a relatively wide range. It depends essentially on the nature of the desired effect. In general, the amounts used are between 1 g and 10 kg of active compound per hectare of soil surface, preferably between 5 g and 5 kg per ha.

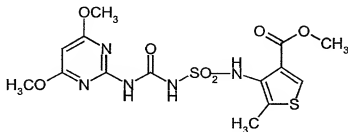
25 The preparation and use of the active compounds according to the invention can be seen from the following examples below.

Preparation Examples:**Example 1**

5 (Process (b))

0.75 g (2,9 mmol) of 2-phenoxy-carbonylamino-4-methoxy-6-methyl-1,3,5-triazine is dissolved in 40 ml of acetonitrile and admixed successively with 0.75 g (3,2 mmol) of methyl 2-methyl-3-sulphamoyl-thiophene-4-carboxylate and 0.49 g (3.2 mmol) of diazabicycloundecene (DBU). The reaction mixture is stirred at room temperature (about 20°C) for 12 hours and then concentrated under water-pump vacuum. The residue is taken up in methylene chloride and the mixture is washed with 2N hydrochloric acid and with water, dried with magnesium sulphate and filtered. The filtrate is concentrated under water-pump vacuum, the residue is digested with diethyl ether and the resulting crystalline product is isolated by filtration with suction.

This gives 0.60 g (52% of theory) of N-(4-methoxy-6-methyl-1,3,5-triazin-2-yl)-N'-(4-methoxycarbonyl-2-methyl-thien-3-yl-sulphonyl)-urea of melting point 195°C.

Example 2

(Process (d))

1.05 g (7.5 mmol) of chlorosulphonyl isocyanate are initially charged in 75 ml of methylene chloride. After cooling to -10°C , a solution of 1.16 g (7.5 mmol) of 2-amino-4,6-dimethoxy-pyrimidine in 30 ml of methylene chloride is added dropwise with stirring to this mixture, and the mixture is stirred at -10°C for 30 minutes. At 0°C , a solution of 1.28 g (7.5 mmol) of methyl 3-amino-2-methylthiophene-4-carboxylate and 0.75 g (7.5 mmol) of triethylamine in 50 ml of methylene chloride is then added dropwise, and the reaction mixture is stirred at room temperature (about 20°C) for 12 hours. 100 ml of water in 100 ml of 2N hydrochloric acid are then added and the organic phase is separated off, washed with 50 ml of water, dried with magnesium sulphate and filtered. The filtrate is concentrated under water-pump vacuum and the residue is crystallized from ethanol.

This gives 2.1 g (66% of theory) of N-(4,6-dimethoxy-pyrimidin-2-yl)-N'-(4-methoxycarbonyl-2-methyl-thien-3-yl-amino-sulphonyl)-urea of melting point 174°C .

Analogously to Examples 1 and 2, and in accordance with the general description of the preparation process according to the invention, it is also possible to prepare, for example, the compounds of the general formula (I) listed in Table 1 below.

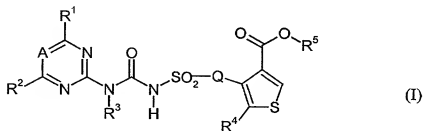
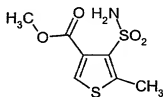
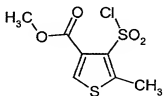


Table 1: Examples of the compounds of the formula (I)

Ex. No.	A	Q	R ¹	R ²	R ³	R ⁴	R ⁵	Melting point (°C)
3	CH	NH	OCH ₃	OCH ₃	H	n-C ₃ H ₇	C ₂ H ₅	140
4	CH	NH	OCH ₃	OCH ₃	H	i-C ₃ H ₇	C ₂ H ₅	154
5	CH	NH	OCH ₃	OCH ₃	H	C ₂ H ₅	CH ₃	195
6	CH	-	OCH ₃	OCH ₃	H	CH ₃	CH ₃	194

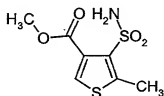
Starting materials of the formula (V):**Example (V-1)****Step 1**

At from 0°C to 5°C, a solution of 19.9 g (0.29 mol) of sodium nitrite in 60 ml of water is added dropwise with stirring to a solution of 42.7 g (0.25 mol) of methyl 3-amino-2-methyl-thiophene-4-carboxylate in 75 ml of 10% strength aqueous hydrochloric acid. The reaction mixture is stirred at from 0°C to 5°C for 60 minutes. The excess of nitrite is subsequently destroyed using amidosulphonic acid. At from 0°C to 5°C, the mixture is then added dropwise with stirring to a solution of 35 g (0.55 mol) of sulphur dioxide in 300 ml of methylene chloride. After addition of

1.5 g of copper(I) chloride and 1.5 g of dodecyl-trimethylammonium bromide, the reaction mixture is stirred at 40°C for 60 minutes and then at 20°C for 12 hours. 18 ml of 35% strength aqueous hydrochloric acid are then added, the mixture is stirred at 20°C for 4 hours and the phases are then separated. The aqueous phase is re-extracted with methylene chloride and the combined organic phases are washed with water, dried with magnesium sulphate and filtered. The filtrate is concentrated under water-pump vacuum and the residue is crystallized from hexane.

This gives 51.7 g (81% of theory) of 4-methoxycarbonyl-2-methyl-thiophene-3-sulphonyl chloride.

Step 2



A mixture of 45 g (177 mmol) of 4-methoxycarbonyl-2-methyl-thiophene-3-sulphonyl chloride, 34 g (354 mmol) of ammonium carbonate and 400 ml of methylene chloride is stirred at room temperature (about 20°C) for 12 hours. The mixture is filtered and the solvent is distilled off from the filtrate under water-pump vacuum, the residue is digested with diethyl ether and the crystalline product is isolated by filtration with suction.

This gives 21.5 g (52% of theory) of 4-methoxycarbonyl-2-methyl-thiophene-3-sulphonamide.

Use Examples:

Example A

5 Pre-emergence test

Solvent: 5 parts by weight of acetone

Emulsifier: 1 part by weight of alkylaryl polyglycol ether

10 To produce a suitable preparation of active compound, 1 part by weight of active compound is mixed with the stated amount of solvent, the stated amount of emulsifier is added and the concentrate is diluted with water to the desired concentration.

15 Seeds of the test plants are sown in normal soil. After about 24 hours, the soil is sprayed with the preparation of active compound such that the particular amount of active compound desired is applied per unit area. The concentration of the spray liquor is chosen so that the particular amount of active compound desired is applied in 1000 litres of water per hectare.

20

After three weeks, the degree of damage to the plants is rated in % damage in comparison to the development of the untreated control.

The figures denote:

25

0 % = no effect (like untreated control)

100 % = total destruction

30

In this test, for example, the compounds of Preparation Examples 1, 2, 3, 4, 5 and 6 show very strong activity against weeds, and some of them are tolerated well by crop plants, such as, for example, cotton, maize and soya.

Table A1: Pre-emergence test/greenhouse

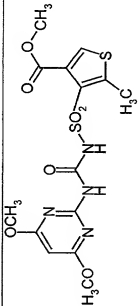
Active compound of Preparation Example No.	Application rate (g of ai/ha)	Cotton	Alopecurus	Amaranthus	Stellaria	Viola
						
(6)	15	0	80	90	90	100

Table A2: Pre-emergence test/greenhouse

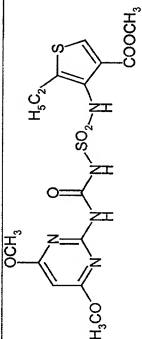
Active compound of Preparation Example No.	Application rate (g of ai/ha)	Maize	Cyperus	Chenopodium	Matricaria	Viola
						
(5)	125	20	95	95	100	95

Table A3: Pre-emergence test/greenhouse

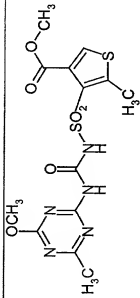
Active compound of Preparation Example No.	Application rate (g of ai/ha)	Soya	Matricaria	Stellaria	Veronica	Viola
						
(1)	4	10	95	95	95	100

Table A4: Pre-emergence test/greenhouse

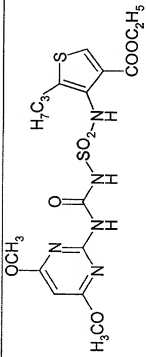
Active compound of Preparation Example No.	Application rate (g of ai/ha)	Alopecurus	Abutilon	Amaranthus	Sinapis
					
(3)	250	70	80	90	90

Table A5: Pre-emergence test/greenhouse

Active compound of Preparation Example No.	Application rate (g of ai/ha)	Alopecurus	Cyperus	Abutilon	Amaranthus	Sinapis
<chem>COc1cc(C(=O)Nc2nc(C(=O)Nc3cc(C(C)C)cs3)nc2)nc1OC</chem> (4)	250	100	90	95	90	90

Table A6: Pre-emergence test/greenhouse

Active compound of Preparation Example No.	Application rate (g of ai/ha)	Maize	Cyperus	Abutilon	Amaranthus	Sinapis
<chem>COc1cc(C(=O)Nc2nc(C(=O)Nc3cc(C(C)C)cs3)nc2)nc1OC</chem> (2)	250	20	95	90	95	95

Example B

Post-emergence test

- 5 Solvent: 5 parts by weight of acetone
 Emulsifier: 1 part by weight of alkylaryl polyglycol ether

10 To produce a suitable preparation of active compound, one part by weight of active compound is mixed with the stated amount of solvent, the stated amount of emulsifier is added and the concentrate is diluted with water to the desired concentration.

15 Test plants which have a height of 5-15 cm are sprayed with the preparation of active compound such that the particular amounts of active compound desired are applied per unit area. The concentration of the spray liquor is chosen so that the particular amounts of active compound desired are applied in 1000 l of water/ha.

20 After three weeks, the degree of damage to the plants is rated in % damage in comparison to the development of the untreated control.

The figures denote:

- 0 % = no effect (like untreated control)
100 % = total destruction

25 In this test, for example, the compounds of Preparation Examples 1, 2, 5 and 6 exhibit very strong activity against weeds, and some of them are tolerated well by crop plants, such as, for example, barley and wheat.

Table B1: Post-emergence test/greenhouse

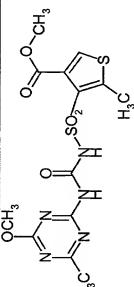
Active compound of Preparation Example No.	Application rate (g of ai/ha)	Alopecurus	Echinochloa	Lolium	Abutilon	Stellaria	Vernonia	Viola
								
(1)	15	100	100	100	100	100	100	100

Table B2: Post-emergence test/greenhouse

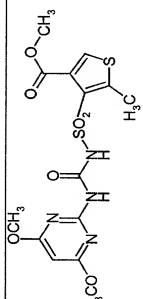
Active compound of Preparation Example No.	Application rate (g of ai/ha)	Barley	Alopecurus	Abutilon	Amaranthus	Stellaria
						
(6)	4	20	90	100	100	95

Table B3: Post-emergence test/greenhouse

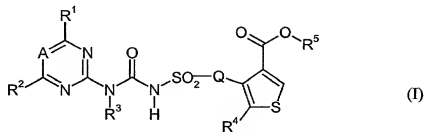
Active compound of Preparation Example No.	Application rate (g of ai/ha)	Wheat	Amaranthus	Matri- caria	Viola	Xanthium
<chem>COc1cc(C(=O)NS(=O)(=O)Nc2cc(C)sc2C(=O)OC)cnc1OC</chem>						
(2)	125	0	100	100	100	95

Table B4: Post-emergence test/greenhouse

Active compound of Preparation Example No.	Application rate (g of ai/ha)	Wheat	Setaria	Chenopodium	Ipomoea	Matri- caria
<chem>COc1cc(C(=O)NS(=O)(=O)Nc2cc(C)sc2C(=O)OC)cnc1OC</chem>						
(5)	125	20	90	100	100	100

Patent Claims

1. Compounds of the general formula (I)



in which

A represents nitrogen or a CH grouping,

Q represents a single bond or represents NH,

R¹ represents hydrogen, halogen or in each case optionally substituted alkyl, alkoxy, alkylthio, alkylamino, dialkylamino, aryloxy or heterocycloxy,

R² represents hydrogen, halogen or in each case optionally substituted alkyl, alkoxy, alkylthio, alkylamino, dialkylamino, aryloxy or heterocycloxy,

R³ represents hydrogen or optionally substituted alkyl,

R⁴ represents halogen or optionally substituted alkyl and – if Q represents NH - also represents hydrogen, and

R⁵ represents hydrogen or in each case optionally substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl or heterocyclyl,

and salts of compounds of the formula (I).

2. Compounds according to Claim 1, characterized in that

5 R¹ represents hydrogen, represents halogen, represents in each case optionally cyano-, halogen- or C₁-C₄-alkoxy-substituted alkyl, alkoxy, alkylthio, alkylamino or dialkylamino having in each case 1 to 4 carbon atoms in the alkyl groups, or represents in each case
10 optionally cyano-, halogen-, C₁-C₄-alkyl- or C₁-C₄-alkoxy-substituted phenoxy, oxetanyloxy, furyloxy or tetrahydrofuryloxy,

R² represents hydrogen, represents halogen, represents in each case optionally cyano-, halogen- or C₁-C₄-alkoxy-substituted alkyl, alkoxy, alkylthio, alkylamino or dialkylamino having in each case 1 to
15 4 carbon atoms in the alkyl groups, or represents in each case optionally cyano-, halogen-, C₁-C₄-alkyl- or C₁-C₄-alkoxy-substituted phenoxy, oxetanyloxy, furyloxy or tetrahydrofuryloxy,

R³ represents hydrogen or represents optionally C₁-C₄-alkoxy-, C₁-C₄-alkyl-carbonyl- or C₁-C₄-alkoxy-carbonyl-substituted alkyl having 1
20 to 4 carbon atoms,

R⁴ represents optionally cyano-, halogen- or C₁-C₄-alkoxy-substituted alkyl having 1 to 6 carbon atoms and – if Q represents NH – also
25 represents hydrogen, and

R⁵ represents hydrogen, represents optionally cyano-, halogen- or C₁-C₄-alkoxy-substituted alkyl having 1 to 6 carbon atoms, represents in each case optionally halogen-substituted alkenyl or alkynyl having in
30 each case 2 to 6 carbon atoms, represents in each case optionally cyano-, halogen- or C₁-C₄-alkyl-substituted cycloalkyl or cycloalkyl-

alkyl having in each case 3 to 6 carbon atoms in the cycloalkyl groups and optionally 1 to 4 carbon atoms in the alkyl moiety, or represents in each case optionally cyano-, halogen-, C₁-C₄-alkyl- or C₁-C₄-alkoxy-substituted oxetanyl, furyl or tetrahydrofuryl.

5

3. Compounds according to Claim 1, characterized in that

10 R¹ represents hydrogen, fluorine, chlorine, bromine, iodine, or represents in each case optionally cyano-, fluorine-, chlorine-, methoxy- or ethoxy-substituted methyl, ethyl, n- or i-propyl, methoxy, ethoxy, n- or i-propoxy, methylthio, ethylthio, n- or i-propylthio, methylamino, ethylamino, n- or i-propylamino, dimethylamino or diethylamino,

15 R² represents fluorine, chlorine, bromine, or represents in each case optionally cyano-, fluorine-, chlorine-, methoxy- or ethoxy-substituted methyl, ethyl, n- or i-propyl, methoxy, ethoxy, n- or i-propoxy, methylthio, ethylthio, n- or i-propylthio, methylamino, ethylamino, n- or i-propylamino, dimethylamino or diethylamino,

20 R³ represents hydrogen or represents in each case optionally methoxy-, ethoxy-, n- or i-propoxy-, acetyl-, propionyl-, n- or i-butyryl-, methoxycarbonyl-, ethoxycarbonyl-, n- or i-propoxycarbonyl-substituted methyl or ethyl,

25 R⁴ represents in each case optionally cyano-, fluorine-, chlorine-, methoxy- or ethoxy-substituted methyl, ethyl, n- or i-propyl, n-, i-, s- or t-butyl, and

30 R⁵ represents hydrogen, represents in each case optionally cyano-, fluorine-, chlorine-, methoxy-, ethoxy-, n- or i-propoxy-substituted methyl, ethyl, n- or i-propyl, n-, i-, s- or t-butyl, represents in each

5 case optionally fluorine-, chlorine- or bromine-substituted propenyl, butenyl, propenyl or butenyl, or represents in each case optionally cyano-, fluorine-, chlorine-, bromine-, methyl-, ethyl-, n- or i-propyl-substituted cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclopropylmethyl, cyclobutylmethyl, cyclopentylmethyl or cyclohexylmethyl.

4. Compounds according to Claim 1, characterized in that

10 R¹ represents hydrogen, fluorine, chlorine, bromine, represents in each case optionally fluorine-, chlorine-, methoxy- or ethoxy-substituted methyl, ethyl, methoxy, ethoxy, methylthio, ethylthio, methylamino, ethylamino, or represents dimethylamino,

15 R² represents fluorine, chlorine, bromine, represents in each case optionally fluorine-, chlorine-, methoxy- or ethoxy-substituted methyl, ethyl, methoxy, ethoxy, methylthio, ethylthio, methylamino or ethylamino, or represents dimethylamino,

20 R³ represents hydrogen or methyl,

R⁴ represents in each case optionally fluorine- or chlorine-substituted methyl, ethyl, n- or i-propyl, and

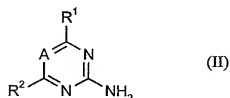
25 R⁵ represents in each case optionally fluorine-, chlorine-, methoxy- or ethoxy-substituted methyl, ethyl, n- or i-propyl, or represents in each case optionally fluorine- or chlorine-substituted propenyl or propenyl.

30 5. Sodium, potassium, magnesium, calcium, ammonium, C₁-C₄-alkyl-ammonium, di-(C₁-C₄-alkyl)-ammonium, tri-(C₁-C₄-alkyl)-ammonium, tetra-(C₁-C₄-alkyl)-ammonium, tri-(C₁-C₄-alkyl)-sulphonium, C₅- or C₆-cyclo-

alkyl-ammonium and di-(C₁-C₂-alkyl)-benzyl-ammonium salts of compounds according to any of claims 1 to 4.

- 5 6. Process for preparing compounds according to any of Claims 1 to 5, characterized in that

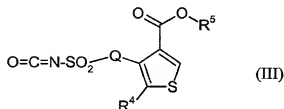
(a) aminoazines of the general formula (II)



- 10 in which

A, R¹ and R² are each as defined in any of Claims 1 to 4

- 15 are reacted with thienyl(amino)sulphonyl isocyanates of the general formula (III)



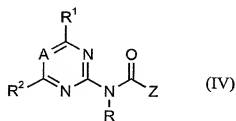
in which

- 20 Q, R⁴ and R⁵ are each as defined in any of Claims 1 to 4,

if appropriate in the presence of a reaction auxiliary and if appropriate in the presence of a diluent,

- 25 or that

(b) substituted aminoazines of the general formula (IV)



5 in which

A, R¹ and R² are each as defined in any of Claims 1 to 4,

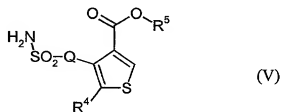
Z represents halogen, alkoxy or aryloxy and

10

R has the meaning given for R³ in any of claims 1 to 4 or represents the grouping -C(O)-Z,

are reacted with thiophene derivatives of the general formula (V)

15



in which

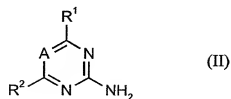
Q, R⁴ and R⁵ are each as defined in any of Claims 1 to 4,

20

if appropriate in the presence of a reaction auxiliary and if appropriate in the presence of a diluent,

or that

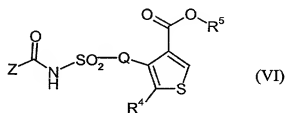
(c) aminoazines of the general formula (II)



in which

A, R¹ and R² are each as defined in any of Claims 1 to 4,

are reacted with thiophene derivatives of the general formula (VI)



in which

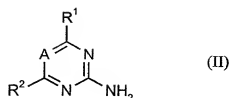
Q, R⁴ and R⁵ are each as defined in any of Claims 1 to 4 and

Z represents halogen, alkoxy or aryloxy,

if appropriate in the presence of a reaction auxiliary and if appropriate in the presence of a diluent,

or that

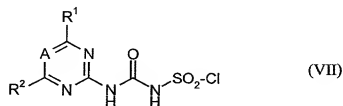
(d) aminoazines of the general formula (II)



in which

A, R¹ and R² are each as defined in any of Claims 1 to 4,

are reacted with chlorosulphonyl isocyanate, if appropriate in the presence of a diluent, and the resulting chlorosulphonylaminocarbonylamino-azines of the general formula (VII)

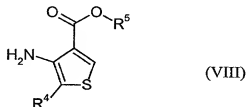


in which

A, R¹ and R² are each as defined in any of Claims 1 to 4

are — after intermediate isolation or “in situ” -

reacted with substituted aminothiophenes of the general formula (VIII)



in which

R⁴ and R⁵ are each as defined in any of Claims 1 to 4,

if appropriate in the presence of a reaction auxiliary and if appropriate in the presence of a diluent,

5 and the compounds of the formula (I) obtained by process (a), (b), (c) or (d) are, if appropriate, converted by customary methods into salts.

10 7. Method for controlling undesirable vegetation, characterized in that at least one compound according to any of Claims 1 to 5 is allowed to act on undesirable plants and/or their habitat.

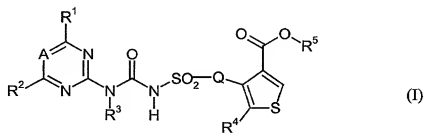
8. Use of at least one compound according to any of Claims 1 to 5 for controlling undesirable plants.

15 9. Herbicidal composition, characterized in that it comprises a compound according to any of Claims 1 to 5 and customary extenders and/or surfactants.

Substituted thieryl(amino)sulphonylureas

A b s t r a c t

The invention relates to novel substituted thieryl(amino)sulphonylureas of the general formula (I)



in which A, Q, R¹, R², R³, R⁴ and R⁵ are each as defined in the description,

to processes for their preparation and to their use as herbicides.

As a below named inventor, I hereby declare that:

My residence, post office address and citizenship are as stated below next to my name. I believe I am the original, first and sole inventor (if only one name is listed below) or an original, first and joint inventor (if plural names are listed below) of the subject matter which is claimed and for which a patent is sought on the invention entitled

SUBSTITUTED THIENYL(AMINO)SULFONYLUREAS

the specification of which is attached hereto,

or was filed on **July 25, 2000**

as a PCT Application Serial No. **PCT/EP00/07096**

I hereby state that I have reviewed and understand the contents of the above-identified specification, including the claims.

I acknowledge the duty to disclose information which is material to the patentability of this application in accordance with Title 37, Code of Federal Regulations, §1.56.

I hereby claim foreign priority benefits under Title 35, United States Code, §119 of any foreign application(s) for patent or inventor's certificate listed below and have also identified below any foreign application for patent or inventor's certificate having a filing date before that of the application on which priority is claimed:

Prior Foreign Application(s), the priority(ies) of which is/are to be claimed:

199 37 118.0
(Number)

Germany
(Country)

August 6, 1999
(Month/Day/Year Filed)

I hereby claim the benefit under Title 35, United States Code, §120 of any United States application(s) listed below and, insofar as the subject matter of each of the claims of this application is not disclosed in the prior United States application in the manner provided by the first paragraph of Title 35, United States Code, §112, I acknowledge the duty to disclose the material information as defined in Title 37, Code of Federal Regulations, §1.56 which occurred between the filing date of the prior application and the national or PCT international filing date of this application:

(Application Serial No.)

(Filing Date)

(Status)

(patented, pending, abandoned)

(Application Serial No.)

(Filing Date)

(Status)

(patented, pending, abandoned)

I hereby declare that all statements made herein of my own knowledge are true and that all statements made on information and belief are believed to be true; and further that these statements were made with the knowledge that willful false statements and the like so made are punishable by fine or imprisonment, or both, under Section 1001 of Title 18 of the United States Code and that such willful false statements may jeopardize the validity of the application or any patent issued thereon.

POWER OF ATTORNEY: As a named inventor, I hereby appoint the following attorney(s) and this application and to transact all business in the Patent and Trademark Office concerning

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RESIDENCE D 40764 Langenfeld, Germany DEX		CITIZENSHIP German	
POST OFFICE ADDRESS c/o BAYER AKTIENGESELLSCHAFT, D 51368 Leverkusen, Germany			
FULL NAME OF THIRD INVENTOR <u>Klaus-Helmut Müller</u>		INVENTOR'S SIGNATURE <i>Klaus-Helmut Müller</i>	DATE 2001-12-11
RESIDENCE D 40593 Düsseldorf, Germany DEX		CITIZENSHIP Austrian	
POST OFFICE ADDRESS c/o BAYER AKTIENGESELLSCHAFT, D 51368 Leverkusen, Germany			
FULL NAME OF FOURTH INVENTOR <u>Mark Wilhelm Drewes</u>		INVENTOR'S SIGNATURE <i>Mark Wilhelm Drewes</i>	DATE 2001-12-17
RESIDENCE D 40764 Langenfeld, Germany DEX		CITIZENSHIP German	
POST OFFICE ADDRESS c/o BAYER AKTIENGESELLSCHAFT, D 51368 Leverkusen, Germany			
FULL NAME OF FIFTH INVENTOR <u>Peter Dahmen</u>		INVENTOR'S SIGNATURE <i>Peter Dahmen</i>	DATE 2001-12-12
RESIDENCE D 41470 Neuss, Germany DEX		CITIZENSHIP German	
POST OFFICE ADDRESS c/o BAYER AKTIENGESELLSCHAFT, D 51368 Leverkusen, Germany			
FULL NAME OF SIXTH INVENTOR <u>Dieter Feucht</u>		INVENTOR'S SIGNATURE <i>Dieter Feucht</i>	DATE 2002-01-09
RESIDENCE D 40789 Monheim, Germany DEX		CITIZENSHIP German	
POST OFFICE ADDRESS c/o BAYER AKTIENGESELLSCHAFT, D 51368 Leverkusen, Germany			
FULL NAME OF SEVENTH INVENTOR <u>Rolf Pontzen</u>		INVENTOR'S SIGNATURE <i>Rolf Pontzen</i>	DATE 2002-12-18
RESIDENCE D 42799 Leichlingen, Germany DEX		CITIZENSHIP German	
POST OFFICE ADDRESS c/o BAYER AKTIENGESELLSCHAFT, D 51368 Leverkusen, Germany			